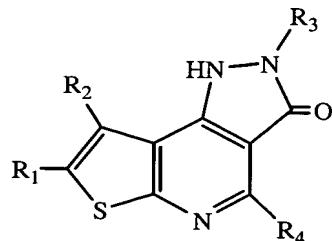


What is claimed is:

1. A compound of formula I



(I)

5 wherein

R_1 and R_2 are each independently H, C_1 - C_{10} alkyl optionally substituted with one or more halogen, hydroxy, C_1 - C_4 alkoxy, CO_2R_6 , $CONR_7R_8$, C_3 - C_7 cycloalkyl or optionally substituted phenyl groups, or phenyl optionally substituted with one to three halogen, hydroxy, C_1 - C_6 haloalkyl, C_1 - C_4 alkoxy, CO_2R_9 , $NR_{10}R_{11}$ or CN groups;

10 R_3 is H, C_1 - C_6 alkyl optionally substituted with a phenyl, naphthyl or heteroaryl group each group optionally substituted with one to three C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_4 alkoxy, hydroxy, CHO, NO_2 , CN, CO_2R_{12} or $NR_{13}R_{14}$ groups,

15 phenyl optionally substituted with one to three halogen, NO_2 , CN, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, phenyl, phenoxy, benzyl, benzyloxy, $CONR_{15}R_{16}$, $SO_2NR_{15}R_{16}$, CO_2R_{17} , $NR_{18}R_{19}$ or $CH_2CO_2R_{20}$ groups,

naphthyl optionally substituted with one to three halogen, NO_2 , CN,

20 hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, phenyl, phenoxy, benzyl, benzyloxy, CO_2R_{17} , $NR_{18}R_{19}$ or $CH_2CO_2R_{20}$ groups,

C_5 - C_7 cycloheteroalkyl optionally substituted with one to three halogen, NO_2 , CN, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_4 alkoxy, CO_2R_{17} or $NR_{18}R_{19}$ groups, or

heteroaryl optionally substituted with one to three halogen, NO₂, CN, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₄alkoxy, CO₂R₁₇ or NR₁₈R₁₉ groups;

R₄ is phenyl optionally substituted with one to three halogen, NO₂, CN, hydroxy, C₁-C₆alkyl, C₁-C₆alkylthio, C₁-C₆haloalkyl, C₁-C₆alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO_nR₂₆, SO₂NR₂₁R₂₂, CO₂R₂₃ or NR₂₄R₂₅ groups,

5 cycloheteroalkyl optionally substituted with one or more halogen, NO₂, CN, hydroxy, C₁-C₆alkyl, C₁-C₆alkylthio, C₁-C₆haloalkyl, C₁-C₆alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO_nR₂₆, SO₂NR₂₁R₂₂, CO₂R₂₃ or NR₂₄R₂₅ groups, or

10 heteroaryl optionally substituted with one or more halogen, NO₂, CN, hydroxy, C₁-C₆alkyl, C₁-C₆alkylthio, C₁-C₆haloalkyl, C₁-C₆alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO_nR₂₆, SO₂NR₂₁R₂₂, CO₂R₂₃ or NR₂₄R₂₅ groups;

15 R₅ is H, C₁-C₃alkyl or haloalkyl;

R₆, R₉, R₁₂, R₁₇, R₂₀, R₂₆ and R₂₇ are each independently H or a C₁-C₆alkyl, C₃-C₇ cycloalkyl, C₁-C₆haloalkyl, phenyl, C₅-C₇cycloheteroalkyl or heteroaryl group each optionally substituted;

n is 0 or an integer of 1 or 2;

20 R₇, R₈, R₁₀, R₁₁, R₁₃, R₁₄, R₁₈, R₁₉, R₂₁, R₂₂, R₂₄ and R₂₅ are each independently H or a C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆haloalkyl, phenyl, C₅-C₇cycloheteroalkyl or heteroaryl group each optionally substituted or each of R₇ and R₈ or R₁₀ and R₁₁ or R₁₃ and R₁₄ or R₁₈ and R₁₉ or R₂₁ and R₂₂ or R₂₄ and R₂₅ may be taken together with the nitrogen atom to which they are attached to form a 5- to 7-membered ring optionally containing another heteroatom selected from O, N or S; and

25 R₁₅ and R₁₆ are each independently H, NH₂, CH₂CH₂OCH₂CH₂OCH₂CH₂NH₂ or a C₁-C₆alkyl group optionally substituted with one or two CN, OR₅, NR₁₃R₁₄, CO₂R₁₇ or C₃-C₇cycloalkyl group;

R₁₅ and R₁₆ are each independently H, NH₂, CH₂CH₂OCH₂CH₂OCH₂CH₂NH₂ or a C₁-C₆alkyl group optionally substituted with one or two CN, OR₅, NR₁₃R₁₄, CO₂R₁₇, COR₂₇, an optionally substituted C₁-C₆alkyl group or an optionally substituted C₂-C₆alkenyl group;

30 benzyl optionally substituted with one or two halogen, OR₅, COR₂₇ or a

C_1 - C_6 alkyl group optionally substituted with one OR_5 or
pyridinyl optionally substituted with one or two halogen, OR_5 , $NR_{13}R_{14}$ or
 CO_2R_{17} groups or
 R_{15} and R_{16} may be taken together with the atom to which they are
5 attached to form an optionally substituted 5- to 7-membered ring
optionally containing one double bond, a benzofused ring or an
additional heteroatom selected from O, N or S; or
the stereoisomers thereof or the pharmaceutically acceptable salts thereof.

2. The compound according to claim 1 wherein R_3 is an optionally
10 substituted phenyl or heteroaryl group.

3. The compound according to claim 1 wherein R_1 and R_2 are H.

4. The compound according to claim 1 wherein R_4 is a C_5 -
 C_7 cycloheteroalkyl, heteroaryl or phenyl group each optionally substituted with one
or two halogen, CN, NO_2 , CF_3 , methoxy, carboxy or SOR_{26} groups.

15 5. The compound according to claim 2 wherein R_1 and R_2 are H.

6. The compound according to claim 2 wherein R_4 is a thiaryl, pyridyl or
phenyl group, each optionally substituted with one or two halogen, CN, NO_2 , CF_3 ,
methoxy, carboxy or $SOCH_3$ groups.

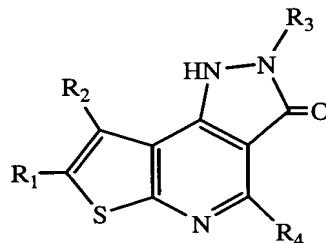
7. The compound according to claim 3 wherein R_3 is a phenyl group
20 substituted with one or two halogen, $CONR_{15}R_{16}$ or $SO_2NR_{15}R_{16}$ groups.

8. The compound according to claim 7 wherein R_4 is a phenyl group
substituted with one NO_2 or CF_3 group.

9. The compound according to claim 1 selected from the group
consisting of:
25 2-(4-chlorophenyl)-4-[3-(trifluoromethyl)phenyl]-1,2-dihydro-3H-pyrazolo-[3,4-d]thieno[2,3-b]pyridin-3-one;
2-(4-fluorophenyl)-4-[3-(trifluoromethyl)phenyl]-1,2-dihydro-3H-pyrazolo-[3,4-d]thieno[2,3-b]pyridin-3-one;

N-(3,4-dihydroxybenzyl)-3-{3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-dihdropyrazolo[3,4-d]thieno[2,3-b]pyridin-2(1H)-yl}benzamide;
 N-[3-(1-hydroxyethyl)phenyl]-4-{3-oxo-4-[3-(trifluoromethyl)phenyl]-3,6-dihdropyrazolo[3,4-d]thieno[2,3-b]pyridin-2(1H)-yl}benzamide;
 5 ({[4-(6-methyl-3-oxo-4-[3-(trifluoromethyl)phenyl]-dihdropyrazolo-[3,4-d]thieno[2,3-b]pyridin-2(1H)-yl)phenyl]sulfonyl}amino)acetic acid;
 the stereoisomers thereof; or the pharmaceutically acceptable salts thereof.

10. A method for the treatment of an immune disorder related to or affected by the immune regulatory protein B7-1 which comprises providing a patient 10 in need thereof an immunotherapeutically effective amount of a compound of formula I



(I)

wherein

R₁ and R₂ are each independently H, C₁-C₁₀alkyl optionally substituted with one 15 or more halogen, hydroxy, C₁-C₄alkoxy, CO₂R₆, CONR₇R₈, C₃-C₇cycloalkyl or optionally substituted phenyl groups, or phenyl optionally substituted with one to three halogen, hydroxy, C₁-C₆haloalkyl, C₁-C₄alkoxy, CO₂R₉, NR₁₀R₁₁ or CN groups;
 R₃ is H, C₁-C₆alkyl optionally substituted with a phenyl, naphthyl or heteroaryl 20 group each group optionally substituted with one to three C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₄alkoxy, hydroxy, CHO, NO₂, CN, CO₂R₁₂ or NR₁₃R₁₄ groups, phenyl optionally substituted with one to three halogen, NO₂, CN, hydroxy, C₁-C₆alkyl, C₁-C₆haloalkyl, C₁-C₆alkoxy, phenyl, phenoxy, benzyl,

benzyloxy, $\text{CONR}_{15}\text{R}_{16}$, $\text{SO}_2\text{NR}_{15}\text{R}_{16}$, CO_2R_{17} , $\text{NR}_{18}\text{R}_{19}$ or
 $\text{CH}_2\text{CO}_2\text{R}_{20}$ groups,
 naphthyl optionally substituted with one to three halogen, NO_2 , CN ,
 hydroxy, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, $\text{C}_1\text{-C}_6\text{alkoxy}$, phenyl, phenoxy,
 5 benzyl, benzyloxy, CO_2R_{17} , $\text{NR}_{18}\text{R}_{19}$ or $\text{CH}_2\text{CO}_2\text{R}_{20}$ groups,
 $\text{C}_5\text{-C}_7\text{cycloheteroalkyl}$ optionally substituted with one to three halogen,
 NO_2 , CN , $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, $\text{C}_1\text{-C}_4\text{alkoxy}$, CO_2R_{17} or
 $\text{NR}_{18}\text{R}_{19}$ groups, or
 heteroaryl optionally substituted with one to three halogen, NO_2 , CN , $\text{C}_1\text{-C}_6\text{alkyl}$,
 10 $\text{C}_1\text{-C}_6\text{haloalkyl}$, $\text{C}_1\text{-C}_4\text{alkoxy}$, CO_2R_{17} or $\text{NR}_{18}\text{R}_{19}$ groups;
 R_4 is phenyl optionally substituted with one to three halogen, NO_2 , CN , hydroxy,
 $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{alkylthio}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, $\text{C}_1\text{-C}_6\text{alkoxy}$, phenyl,
 phenoxy, benzyl, benzyloxy, SO_nR_{26} , $\text{SO}_2\text{NR}_{21}\text{R}_{22}$, CO_2R_{23} or
 $\text{NR}_{24}\text{R}_{25}$ groups,
 15 cycloheteroalkyl optionally substituted with one or more halogen, NO_2 ,
 CN , hydroxy, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{alkylthio}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, $\text{C}_1\text{-C}_6\text{alkoxy}$,
 phenyl, phenoxy, benzyl, benzyloxy, SO_nR_{26} , $\text{SO}_2\text{NR}_{21}\text{R}_{22}$, CO_2R_{23}
 or $\text{NR}_{24}\text{R}_{25}$ groups, or
 heteroaryl optionally substituted with one or more halogen, NO_2 , CN ,
 20 hydroxy, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{alkylthio}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, $\text{C}_1\text{-C}_6\text{alkoxy}$,
 phenyl, phenoxy, benzyl, benzyloxy, SO_nR_{26} , $\text{SO}_2\text{NR}_{21}\text{R}_{22}$, CO_2R_{23}
 or $\text{NR}_{24}\text{R}_{25}$ groups;
 R_5 is H, $\text{C}_1\text{-C}_3\text{alkyl}$ or haloalkyl;
 R_6 , R_9 , R_{12} , R_{17} , R_{20} , R_{26} and R_{27} are each independently H or a $\text{C}_1\text{-C}_6\text{alkyl}$,
 25 $\text{C}_3\text{-C}_7$ cycloalkyl, $\text{C}_1\text{-C}_6\text{haloalkyl}$, phenyl, $\text{C}_5\text{-C}_7\text{cycloheteroalkyl}$ or
 heteroaryl group each optionally substituted;
 n is 0 or an integer of 1 or 2;
 R_7 , R_8 , R_{10} , R_{11} , R_{13} , R_{14} , R_{18} , R_{19} , R_{21} , R_{22} , R_{24} and R_{25} are each
 independently H or a $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_3\text{-C}_7\text{cycloalkyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, phenyl,
 30 $\text{C}_5\text{-C}_7\text{cycloheteroalkyl}$ or heteroaryl group each optionally substituted or
 each of R_7 and R_8 or R_{10} and R_{11} or R_{13} and R_{14} or R_{18} and R_{19} or R_{21} and
 R_{22} or R_{24} and R_{25} may be taken together with the nitrogen atom to which

they are attached to form a 5- to 7-membered ring optionally containing another heteroatom selected from O, N or S; and

R₁₅ and R₁₆ are each independently H, NH₂, CH₂CH₂OCH₂CH₂OCH₂CH₂NH₂ or a C₁-C₆alkyl group optionally substituted with one or two CN, OR₅,

5 NR₁₃R₁₄, CO₂R₁₇ or C₃-C₇cycloalkyl group;

phenyl optionally substituted with one or two halogen, OR₅, CN, NR₁₃R₁₄, CO₂R₁₇, COR₂₇, an optionally substituted C₁-C₈alkyl group or an optionally substituted C₂-C₆alkenyl group;

benzyl optionally substituted with one or two halogen, OR₅, COR₂₇ or a 10 C₁-C₆alkyl group optionally substituted with one OR₅ or pyridinyl optionally substituted with one or two halogen, OR₅, NR₁₃R₁₄ or CO₂R₁₇ groups or

R₁₅ and R₁₆ may be taken together with the atom to which they are attached to form an optionally substituted 5- to 7-membered ring 15 optionally containing one double bond, a benzofused ring or an additional heteroatom selected from O, N or S; or

the stereoisomers thereof or the pharmaceutically acceptable salts thereof.

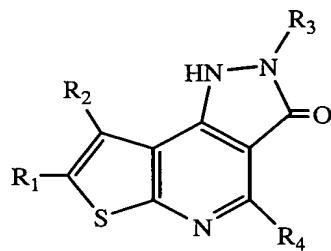
11. The method according to claim 10 wherein said disorder is transplant rejection.

20 12. The method according to claim 10 wherein said disorder is an autoimmune disease.

13. The method according to claim 10 wherein said disorder is graft vs. host disease.

25 14. The method according to claim 12 wherein said disease is multiple sclerosis or rheumatoid arthritis.

15. A pharmaceutical composition which comprises a pharmaceutically acceptable carrier and an effective amount of a compound of formula I



(I)

wherein

5 R_1 and R_2 are each independently H, C_1 - C_{10} alkyl optionally substituted with one or more halogen, hydroxy, C_1 - C_4 alkoxy, CO_2R_6 , $CONR_7R_8$, C_3 - C_7 cycloalkyl or optionally substituted phenyl groups, or phenyl optionally substituted with one to three halogen, hydroxy, C_1 - C_6 haloalkyl, C_1 - C_4 alkoxy, CO_2R_9 , $NR_{10}R_{11}$ or CN groups;

10 R_3 is H, C_1 - C_6 alkyl optionally substituted with a phenyl, naphthyl or heteroaryl group each group optionally substituted with one to three C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_4 alkoxy, hydroxy, CHO, NO_2 , CN, CO_2R_{12} or $NR_{13}R_{14}$ groups,

15 phenyl optionally substituted with one to three halogen, NO_2 , CN, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, phenyl, phenoxy, benzyl, benzyloxy, $CONR_{15}R_{16}$, $SO_2NR_{15}R_{16}$, CO_2R_{17} , $NR_{18}R_{19}$ or $CH_2CO_2R_{20}$ groups,

20 naphthyl optionally substituted with one to three halogen, NO_2 , CN, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, phenyl, phenoxy, benzyl, benzyloxy, CO_2R_{17} , $NR_{18}R_{19}$ or $CH_2CO_2R_{20}$ groups,

25 C_5 - C_7 cycloheteroalkyl optionally substituted with one to three halogen, NO_2 , CN, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_4 alkoxy, CO_2R_{17} or $NR_{18}R_{19}$ groups, or heteroaryl optionally substituted with one to three halogen, NO_2 , CN, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_4 alkoxy, CO_2R_{17} or $NR_{18}R_{19}$ groups;

R_4 is phenyl optionally substituted with one to three halogen, NO_2 , CN, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, phenyl,

phenoxy, benzyl, benzyloxy, SO_nR_{26} , $\text{SO}_2\text{NR}_{21}\text{R}_{22}$, CO_2R_{23} or
 $\text{NR}_{24}\text{R}_{25}$ groups,
 cycloheteroalkyl optionally substituted with one or more halogen, NO_2 ,
 5 CN , hydroxy, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{alkylthio}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, $\text{C}_1\text{-C}_6\text{alkoxy}$,
 phenyl, phenoxy, benzyl, benzyloxy, SO_nR_{26} , $\text{SO}_2\text{NR}_{21}\text{R}_{22}$, CO_2R_{23}
 or $\text{NR}_{24}\text{R}_{25}$ groups, or
 heteroaryl optionally substituted with one or more halogen, NO_2 , CN ,
 10 hydroxy, $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_1\text{-C}_6\text{alkylthio}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, $\text{C}_1\text{-C}_6\text{alkoxy}$,
 phenyl, phenoxy, benzyl, benzyloxy, SO_nR_{26} , $\text{SO}_2\text{NR}_{21}\text{R}_{22}$, CO_2R_{23}
 or $\text{NR}_{24}\text{R}_{25}$ groups;
 15 R_5 is H , $\text{C}_1\text{-C}_3\text{alkyl}$ or haloalkyl;
 R_6 , R_9 , R_{12} , R_{17} , R_{20} , R_{26} and R_{27} are each independently H or a $\text{C}_1\text{-C}_6\text{alkyl}$,
 $\text{C}_3\text{-C}_7\text{cycloalkyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, phenyl, $\text{C}_5\text{-C}_7\text{cycloheteroalkyl}$ or
 heteroaryl group each optionally substituted;
 20 n is 0 or an integer of 1 or 2;
 R_7 , R_8 , R_{10} , R_{11} , R_{13} , R_{14} , R_{18} , R_{19} , R_{21} , R_{22} , R_{24} and R_{25} are each
 independently H or a $\text{C}_1\text{-C}_6\text{alkyl}$, $\text{C}_3\text{-C}_7\text{cycloalkyl}$, $\text{C}_1\text{-C}_6\text{haloalkyl}$, phenyl,
 $\text{C}_5\text{-C}_7\text{cycloheteroalkyl}$ or heteroaryl group each optionally substituted or
 each of R_7 and R_8 or R_{10} and R_{11} or R_{13} and R_{14} or R_{18} and R_{19} or R_{21} and
 25 R_{22} or R_{24} and R_{25} may be taken together with the nitrogen atom to which
 they are attached to form a 5- to 7-membered ring optionally containing
 another heteroatom selected from O, N or S; and
 R_{15} and R_{16} are each independently H , NH_2 , $\text{CH}_2\text{CH}_2\text{OCH}_2\text{CH}_2\text{OCH}_2\text{CH}_2\text{NH}_2$
 or a $\text{C}_1\text{-C}_6\text{alkyl}$ group optionally substituted with one or two CN , OR_5 ,
 $\text{NR}_{13}\text{R}_{14}$, CO_2R_{17} or $\text{C}_3\text{-C}_7\text{cycloalkyl}$ group;
 phenyl optionally substituted with one or two halogen, OR_5 , CN , $\text{NR}_{13}\text{R}_{14}$,
 CO_2R_{17} , COR_{27} , an optionally substituted $\text{C}_1\text{-C}_8\text{alkyl}$ group or an
 optionally substituted $\text{C}_2\text{-C}_6\text{alkenyl}$ group;
 benzyl optionally substituted with one or two halogen, OR_5 , COR_{27} or a
 30 $\text{C}_1\text{-C}_6\text{alkyl}$ group optionally substituted with one OR_5 or
 pyridinyl optionally substituted with one or two halogen, OR_5 , $\text{NR}_{13}\text{R}_{14}$ or
 CO_2R_{17} groups or
 R_{15} and R_{16} may be taken together with the atom to which they are

attached to form an optionally substituted 5- to 7-membered ring optionally containing one double bond, a benzofused ring or an additional heteroatom selected from O, N or S; or the stereoisomers thereof or the pharmaceutically acceptable salts thereof.

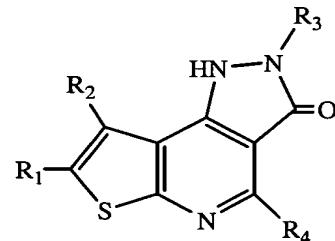
5 16. The composition according to claim 15 having a formula I compound wherein R₃ is an optionally substituted phenyl, thienyl or pyridyl group.

17. The composition according to claim 16 having a formula I compound wherein R₁ and R₂ are H.

10 18. The composition according to claim 17 having a formula I compound wherein R₄ is a thienyl, pyridyl or phenyl group each optionally substituted with one or two halogen, CN, NO₂, CF₃, methoxy, carboxy or SOCH₃ groups.

19. The composition according to claim 18 having a formula I compound wherein R₃ is a phenyl group substituted with one or two halogen, CONR₁₅R₁₆ or SO₂NR₁₅R₁₆ groups.

15 20. A process for the preparation of a compound of formula I



(I)

wherein

20 R₁ and R₂ are each independently H, C₁-C₁₀alkyl optionally substituted with one or more halogen, hydroxy, C₁-C₄alkoxy, CO₂R₆, CONR₇R₈, C₃-C₇cycloalkyl or optionally substituted phenyl groups, or phenyl optionally substituted with one to three halogen, hydroxy, C₁-C₆haloalkyl, C₁-C₄alkoxy, CO₂R₉, NR₁₀R₁₁ or CN groups;

R_3 is H, C_1 - C_6 alkyl optionally substituted with a phenyl, naphthyl or heteroaryl group each group optionally substituted with one to three C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_4 alkoxy, hydroxy, CHO, NO_2 , CN, CO_2R_{12} or $NR_{13}R_{14}$ groups,
5 phenyl optionally substituted with one to three halogen, NO_2 , CN, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, phenyl, phenoxy, benzyl, benzyloxy, $CONR_{15}R_{16}$, $SO_2NR_{15}R_{16}$, CO_2R_{17} , $NR_{18}R_{19}$ or $CH_2CO_2R_{20}$ groups,
10 naphthyl optionally substituted with one to three halogen, NO_2 , CN, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, phenyl, phenoxy, benzyl, benzyloxy, CO_2R_{17} , $NR_{18}R_{19}$ or $CH_2CO_2R_{20}$ groups,
15 C_5 - C_7 cycloheteroalkyl optionally substituted with one to three halogen, NO_2 , CN, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_4 alkoxy, CO_2R_{17} or $NR_{18}R_{19}$ groups, or
heteroaryl optionally substituted with one to three halogen, NO_2 , CN, C_1 - C_6 alkyl, C_1 - C_6 haloalkyl, C_1 - C_4 alkoxy, CO_2R_{17} or $NR_{18}R_{19}$ groups;
20 R_4 is phenyl optionally substituted with one to three halogen, NO_2 , CN, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO_nR_{26} , $SO_2NR_{21}R_{22}$, CO_2R_{23} or $NR_{24}R_{25}$ groups,
25 cycloheteroalkyl optionally substituted with one or more halogen, NO_2 , CN, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO_nR_{26} , $SO_2NR_{21}R_{22}$, CO_2R_{23} or $NR_{24}R_{25}$ groups, or
heteroaryl optionally substituted with one or more halogen, NO_2 , CN, hydroxy, C_1 - C_6 alkyl, C_1 - C_6 alkylthio, C_1 - C_6 haloalkyl, C_1 - C_6 alkoxy, phenyl, phenoxy, benzyl, benzyloxy, SO_nR_{26} , $SO_2NR_{21}R_{22}$, CO_2R_{23} or $NR_{24}R_{25}$ groups;
30 R_5 is H, C_1 - C_3 alkyl or haloalkyl;
 R_6 , R_9 , R_{12} , R_{17} , R_{20} , R_{26} and R_{27} are each independently H or a C_1 - C_6 alkyl, C_3 - C_7 cycloalkyl, C_1 - C_6 haloalkyl, phenyl, C_5 - C_7 cycloheteroalkyl or heteroaryl group each optionally substituted;
n is 0 or an integer of 1 or 2;

R₇, R₈, R₁₀, R₁₁, R₁₃, R₁₄, R₁₈, R₁₉, R₂₁, R₂₂, R₂₄ and R₂₅ are each independently H or a C₁-C₆alkyl, C₃-C₇cycloalkyl, C₁-C₆haloalkyl, phenyl, C₅-C₇cycloheteroalkyl or heteroaryl group each optionally substituted or each of R₇ and R₈ or R₁₀ and R₁₁ or R₁₃ and R₁₄ or R₁₈ and R₁₉ or R₂₁ and R₂₂ or R₂₄ and R₂₅ may be taken together with the nitrogen atom to which they are attached to form a 5- to 7-membered ring optionally containing another heteroatom selected from O, N or S; and

R₁₅ and R₁₆ are each independently H, NH₂, CH₂CH₂OCH₂CH₂OCH₂CH₂NH₂ or a C₁-C₆alkyl group optionally substituted with one or two CN, OR₅, NR₁₃R₁₄, CO₂R₁₇ or C₃-C₇cycloalkyl group;

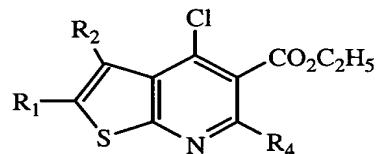
phenyl optionally substituted with one or two halogen, OR₅, CN, NR₁₃R₁₄, CO₂R₁₇, COR₂₇, an optionally substituted C₁-C₆alkyl group or an optionally substituted C₂-C₆alkenyl group;

benzyl optionally substituted with one or two halogen, OR₅, COR₂₇ or a C₁-C₆alkyl group optionally substituted with one OR₅ or

pyridinyl optionally substituted with one or two halogen, OR₅, NR₁₃R₁₄ or CO₂R₁₇ groups or

R₁₅ and R₁₆ may be taken together with the atom to which they are attached to form an optionally substituted 5- to 7-membered ring optionally containing one double bond, a benzofused ring or an additional heteroatom selected from O, N or S; or

which process comprises reacting a compound of formula VI



(VI)

wherein R₁, R₂ and R₄ are described hereinabove with a hydrazine, R₃NHNH₂, to give a 3-hydrazinylthieno-[2,3-b]pyridine intermediate; and cyclizing said intermediate to give the desired compound of formula I.